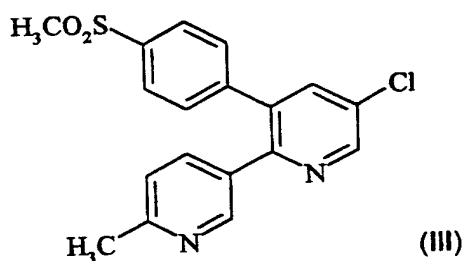


Claims

- 1 A coformulation of an active substance and an oligomeric or polymeric material,
in which between 80 and 100% of the active substance is present in an amorphous as
5 opposed to crystalline form, wherein the amorphous phase active substance is stable, with
respect to its crystalline form(s) for at least three months after its preparation when stored
at between 0 and 10°C, provided that when the active substance is indomethacin, the
polymer is not poly vinyl pyrrolidone.
- 10 2 A coformulation of an active substance and an oligomeric or polymeric material,
in which between 80 and 100% of the active substance is present in an amorphous as
opposed to crystalline form, wherein the amorphous phase active substance is stable, with
respect to its crystalline form(s) for at least six months after its preparation when stored
at between 0 and 10°C.
- 15 3 A coformulation according to claim 1 or claim 2, wherein the amorphous phase
active substance is stable for at least twenty four months after its preparation, when
stored at between 0 and 10°C.
- 20 4 A coformulation according to claim 1, claim 2 or claim 3, wherein the
amorphous phase active substance is stable for the specified storage period, when stored
at 25°C.
- 5 5 A coformulation according to any one of the preceding claims, wherein the
25 active substance comprises a pharmaceutically active substance.
- 6 A coformulation according to claim 5, wherein the active substance is selected
from the group consisting of paracetamol, ketoprofen, indomethacin, carbamazepine,
theophylline and ascorbic acid.
- 30 7 A coformulation according to claim 5, wherein the active substance is a COX-2
selective inhibitor.

8 A coformulation according to claim 7, wherein the COX-2 selective inhibitor is a diarylheterocycle.

5 9 A coformulation according to claim 7, wherein the COX-2 selective inhibitor is selected from the group consisting of (Z)-3-[1-(4-bromophenyl)-1-(4-methylsulfonylphenyl)methylene] dihydrofuran-2-one, (Z)-3-[1-(4-chlorophenyl)-1-(4-methylsulfonylphenyl)methylene] dihydrofuran-2-one, 4-[5-(4-methylphenyl)-3-(trifluoromethyl)-1H-pyrazol-1-yl]benzenesulfonamide, 4-[4-(methylsulfonyl)phenyl]-3-phenyl-2(5H)-furanone and the compound of Formula (III):



10 A coformulation of (i) an active substance selected from the group consisting of
 15 paracetamol, ketoprofen, indomethacin, carbamazepine, theophylline and ascorbic acid
 and (ii) an oligomeric or polymeric material, in which between 80 and 100% of the active
 substance is present in an amorphous as opposed to crystalline form, and in which the
 active substance represents at least 10% of the coformulation, provided that when the
 active substance is indomethacin or theophylline, the oligomeric or polymeric material is
 20 not poly vinyl pyrrolidone.

11 A coformulation according to any one of the preceding claims, wherein the
 oligomeric or polymeric material is selected from the group consisting of cellulosic
 materials (including cellulose derivatives), vinyl polymers, poly lactic or glycolic acids
 25 (including lactide/glycolide copolymers), and mixtures thereof.

12 A coformulation according to any one of the preceding claims, wherein the active substance is a polar substance and the oligomeric or polymeric material is hydrophobic.

5 13 A coformulation according to any one of the preceding claims, wherein 100% of the active substance is present in an amorphous as opposed to crystalline form.

14 A coformulation according to any one of the preceding claims, wherein the active substance represents at least 20% of the coformulation.

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15 A coformulation according to any one of the preceding claims, comprising an intimate single-phase mixture of the active substance and the oligomeric or polymeric material, from which the dissolution rate of the active substance in an aqueous medium is no higher for the first 30 minutes than it is subsequently.

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16 A coformulation according to claim 15, wherein the dissolution rate of the active substance in an aqueous medium is no higher for the first 60 minutes than it is subsequently.

20 17 A coformulation of paracetamol and an oligomeric or polymeric material, in which between 80 and 100% of the paracetamol is present in an amorphous as opposed to crystalline form, and in which the paracetamol represents at least 1% of the coformulation.

25 18 A coformulation according to claim 17 wherein 100% of the paracetamol is present in an amorphous form.

19 A coformulation according to claim 17 or claim 18, wherein the paracetamol represents at least 25% of the coformulation.

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- 20 A coformulation according to any one of claims 17 to 19, wherein the amorphous phase paracetamol is stable, with respect to its crystalline form(s) for at least three months after its preparation, when stored at between 0 and 10°C.
- 5 21 A coformulation according to any one of the preceding claims, which has been prepared by a SEDS™ process.
- 22 A coformulation of an active substance and an oligomeric or polymeric material, according to any one of claims 1, 2, 10 or 17, the coformulation being substantially as
10 herein described with reference to the accompanying illustrative drawings.
- 23 A pharmaceutical composition containing a coformulation according to any one of the preceding claims.
- 15 24 A method for preparing a coformulation according to any one of claims 1 to 22, which method involves the use of a SEDS™ process.
- 25 Use of a SEDS™ process to prepare a coformulation of an active substance and an oligomeric or polymeric material, in which between 80 and 100% of the active
20 substance is present in an amorphous as opposed to crystalline form, and in which the active substance represents at least 10% of the coformulation.
- 26 A method for preparing a coformulation of an active substance and an oligomeric or polymeric material, using an anti-solvent-induced particle formation
25 process, wherein, under the operating conditions used, the active substance is soluble in the chosen "anti-solvent" but the oligomeric or polymeric material is not.
- 27 A method according to claim 26, wherein the particle formation process is a SEDS™ process.
- 30 28 A method according to claim 26 or claim 27, wherein the anti-solvent is supercritical carbon dioxide.

29 A method according to any one of claims 26 to 28, wherein the active substance is ketoprofen.

30 A method according to any one of claims 26 to 29, wherein the oligomeric or
5 polymeric material is hydroxypropyl methyl cellulose.

31 A method for preparing a coformulation of an active substance and an oligomeric or polymeric material, the method being substantially as herein described with reference to the accompanying illustrative drawings.

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